

## CLAIMS

We claim:

5 1. A conjugate comprising at least one vitamin D moiety associated with a target molecule moiety having an affinity for a tissue of interest.

2. The conjugate of claim 1, wherein the molar ratio of the at least one vitamin D moiety to the at least one target molecule moiety is 1:1.

3. The conjugate of claim 1, wherein the vitamin D moiety is associated with the target molecule moiety via a connecting group.

10 4. The conjugate of claim 3, wherein the connecting group is a linkage group formed by modification of the vitamin D moiety and the target molecule moiety to form a bond therebetween.

5. The conjugate of claim 3, wherein the connecting group is a bifunctional connector.

15 6. The conjugate of claim 3, wherein the vitamin D moiety is associated with the target molecule moiety via the connecting group and at least one additional connecting group.

7. The conjugate of claim 1, wherein the target molecule moiety is a bisphosphonate moiety.

20 8. The conjugate of claim 1, wherein the target molecule moiety is a dehydroepiandrosterone moiety.

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9. The conjugate of claim 7, wherein said bisphosphonate is linked to said vitamin D moiety at a position on the vitamin D moiety which is C-1, C-3, C-24 or C-25.

10. The conjugate of claim 1, wherein the target molecule moiety is a metal ion.

11. The conjugate of claim 5, wherein the bifunctional connector is an amino acid chelated to the target molecule moiety and linked to the vitamin D moiety via an amide linkage.

12. The conjugate of claim 10, wherein the metal ion is a divalent metal ion selected from the group consisting of  $\text{Sr}^{2+}$ ,  $\text{Zn}^{2+}$ ,  $\text{Mg}^{2+}$ ,  $\text{Fe}^{2+}$ ,  $\text{Cu}^{2+}$ ,  $\text{Mn}^{2+}$ ,  $\text{Ca}^{2+}$ ,  $\text{Co}^{2+}$ ,  $\text{Cr}^{2+}$  or  $\text{Mo}^{2+}$ .

13. The conjugate of claim 1, wherein the target molecule moiety is an antibody.

14. The conjugate of claim 13, wherein the antibody target molecule moiety is associated with the vitamin D moiety via a biotin-avidin linkage, wherein the biotin is linked to the antibody and the avidin is linked to the vitamin D moiety.

15. The conjugate of claim 13, wherein the target molecule moiety is a monoclonal antibody.

16. The conjugate of claim 13, wherein the target molecule moiety is a polyclonal antibody.

17. The conjugate of claim 1, further comprising at least one therapeutic agent other than a vitamin D moiety conjugated therewith.

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18. The conjugate of claim 17, wherein the therapeutic agent is a bone-therapeutic agent selected from the group consisting of conjugated estrogens or their equivalents, antiestrogens, calcitonin, bisphosphonates, calcium supplements, cobalamin, pertussis toxin, boron, dehydroepiandrosterone, transforming bone growth factor beta, activin, and bone morphogenic protein.

19. The conjugate of claim 17, wherein the therapeutic agent is a cytotoxic agent selected from the group consisting of estromustene phosphate, prednimustine, cisplatin, S-fluorouracil, melphalan, hydroxyurea, mitomycin, idarubicin, methotrexate, adriamycin and daunomycin.

20. A pharmaceutical composition comprising:

a conjugate which includes at least one vitamin D moiety associated with at least one target molecule moiety having an affinity for a tissue of interest, and

a suitable pharmaceutically acceptable carrier.

21. The pharmaceutical composition of claim 20, further comprising a differentially degradable coating encapsulating the conjugate for time release delivery of the conjugate.

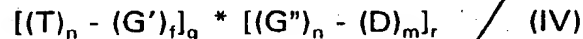
22. The pharmaceutical composition of claim 21, wherein said coating is an enteric coating.

23. A method of site-specific delivery of a vitamin D moiety to a tissue of interest in a patient, comprising the steps of:

- a. providing a conjugate which includes a vitamin D moiety in a pharmaceutically acceptable carrier, the conjugate having at least one vitamin D moiety associated with at least one target molecule moiety, the target molecule moiety having an affinity for the tissue of interest, and

31. The conjugate of claim 30, wherein said bone-seeking agent is a bisphosphonate, a tetracycline, a polymalonate or dehydroepiandrosterone.

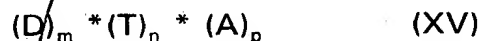
32. A conjugate of formula (IV)



5 wherein each G' represents a connecting group; each G'' represents the same or different connecting group as G'; each D represents a vitamin D moiety; each T represents a target molecule moiety; g, k, n and m represent integers of 1 or greater; and f and h represent integers of 0 or greater; - indicates a bond in instances where a connecting group is present; and \* indicates that  
10 each D is associated with each T via connector G' or G'' or via connector G' and G'' when both connectors are present.

33. The conjugate of claim 32 wherein G' is biotin; G'' is avidin and wherein \* represents a biotin-avidin linkage.

34. The conjugate of formula (XV):



15 wherein each D represents a vitamin D moiety; each T represents a target molecule moiety; each A represents a therapeutic agent other than vitamin D; m, n and p represent integers of 1 or greater; and \* indicates that the target molecule moiety is associated with the vitamin D moiety and with the  
20 therapeutic agent other than vitamin D.

35. The conjugate of claim 34, wherein A is a cytotoxic agent or a bone therapeutic agent.

36. The conjugate of claim 35, wherein T is a bone-seeking agent.

37. A method of treating bone diseases in a human subject,  
25 comprising administering to the subject a therapeutically effective amount of the conjugate of claim 36.

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- b. administering a therapeutically effective dose of the conjugate to the patient.

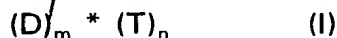
24. The method of claim 23, wherein the conjugate is administered orally to a patient having a bone disease, at 0.5 nmol to 50 nmol per unit dosage.

25. The method of claim 23, wherein the conjugate is administered orally to a patient for the treatment of hyperproliferative diseases, at about 1 nmol to about 100 nmol per unit dosage.

26. The method of claim 23, wherein the conjugate is delivered to a tissue of interest after being administered to the patient.

27. The method of claim 26, wherein the target molecule moiety is cleaved after the conjugate is delivered to the tissue of interest, thereby enhancing the effectiveness of the vitamin D moiety of the conjugate.

28. A conjugate of formula (I)



wherein each D represents a vitamin D moiety; each T represents a target molecule moiety; n and m represent integers of 1 or greater; and \* indicates that the target molecule moiety is associated with the vitamin D moiety.

29. An antiproliferative composition comprising the conjugate of claim 28, wherein T is an agent having an ability of seeking a vitamin D receptor of a cancerous cell.

30. The conjugate of claim 28 wherein T is a monoclonal, a polyclonal antibody or fragment thereof, a metal ion, a bone-seeking agent or a tumor-seeking agent.

38. The conjugate of claim 34, wherein T is a bone-seeking agent and A is a bone-seeking agent.

39. A method of treating bone diseases in a human subject, comprising administering to the subject a therapeutically effective amount of the conjugate of claim 38.

40. An antiproliferative composition comprising the conjugate of claim 34 wherein T is an agent having an ability of seeking a vitamin D receptor of a cancerous cell and A is a cytotoxic agent.

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